DERWENT-ACC-NO: 1997-548410

DERWENT-WEEK: 200252

TITLE: Method of treating diarrhoea and gastrointestinal spasms - using poly:amine(s) e.g. di:ethyl-homo-spermine

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A method for treating diarrhoea or gastrointestinal comprises administration of compounds of formula (I), (II) or (III) which have gastrointestinal antisecretory, nitric oxide agonist or nitric oxide synthase activating activity: R1N1(R2)(CH2)mN2(R3)(CH2)nN3(R4)(CH2)mN4R5R 6 (I) R1N1H(CH2)3N2H(CH2)3N3H(CH2)4N4H(CH2)3N5H(CH2)3N6HR6 (II) R1, R6 = H, 1-12C alkyl or 1-12C aralkyl; providing that in (I) R1 and R6 are not H; R2-R5 = H, R1 or R6; R7 = H, 1-12C alkyl, 1-12C aryl or 1-12C aralkyl; and m, n = 3 to 6.

US-PAT-NO: 6407135

DOCUMENT-IDENTIFIER: US 6407135 B1

TITLE: Conjugates of dithiocarbamates with pharmacologically active agents and uses therefor

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stroke agents, such as 5-HT antagonists (e.g., Piperazine derivative), 5-HT reuptake inhibitors (e.g., Milnacipran, and Dalcipran), 5-HT 1A agonists (e.g., SR-57746A, and SR-57746), 5-HT 3 agonists (e.g., SR-57227), 5-HT 4 antagonists, 5-lipoxygenase inhibitors (e.g., low MW dual 5-lipoxygenase and PAF inhibitor CMI-392), ACh agonists (e.g., Pramiracetam, Choline-L-alfoscerate, L-alpha-glycerylphosphoryl-choline, and Delecit), adenosine agonists (e.g., GP-1-4683, ARA-100, and arasine analogs), adenosine A1 receptor agonists (e.g., Azaisotere, 2-chloro-N-[4 (phenylthio)-1-piperidinyl]adenosine, and 2120136), adenosine reuptake inhibitors (e.g., Diphenyloxazole derivatives), adrenergic transmitter re-uptake inhibitors (e.g., Bifemelane, E-0687, MCI-2016, Alnert, and Celeport), aldose reductase inhibitors (e.g., Spiro-3' pyrroline derivatives), alpha antagonists (e.g., Drotaverine acephyllinate, and Depogen), alpha 2 agonists (e.g., SNAP-5083, SNAP-5608, and SNAP-5682), AMPA receptor agonists (e.g., heterocyclic compound SYM-1207, and heterocyclic compound SYM-1252), AMPA receptor antagonists (e.g., LY-293558, and LY-215490), Ancrod/Arvin, aspirin, benzothiazoles (e.g., Lubeluzole, and R87926), benzodiazepine receptor antagonists (e.g., 3-oxadiazolyl-1,6-naph-thyridine derivatives, Tetracyclic imidazodiazepineseries imidazenil,

FID-02-023, and
Ro-23-1412), blood substitutes, bradykinin antagonists (e.g., CP-0127,
Bradycor, and Septicor), C5a release inhibitors (e.g., protein derivative
CMI46000), calcium antagonists (e.g., Lemildipine, NB-818, NPK-1886,
Trimetazidine derivative, lomerizine KP-2796, Diltiazem analog clentiazem
maleate, and TA-3090), calcium channel antagonists (e.g., nitrendipine-like
compound diperdipine, YS-201, U-92032, Diltiazem derivative, 1058, SM-6586,
KP-840, F-0401, D-31-D, Tetrahydronaphthalene derivatives, fasudil, AT-877,
H-7, HA-1044, HA-1077, Eril, darodipine, dazodipine, PY-108-068, Plimo,
Dihydropy-ridine, AE 0047, GJ-0956, Lacidipine, GR-43659, GR-43659X, GX-1048,
S-312-d, S-312, S-830312, Nilvadipine, and FK-235), calpain inhibitors (e.g.,
AK-275, and CX-275), camitine palmitoyl-transferase inhibitors, carvedilol,
cerebral calcium antagonist vasodilators (e.g., Nimodipine, and Nimotop),
cholinesterase inhibitors (e.g., indole and indazole derivatives, and Tacrine
analog), complement factor inhibitors (e.g., TK9C, protein derivative TP16,
compinact A, compinact C, Factor D inhibitors, and soluble, recombinant
MCP-based complement inhibitors), complement inhibitors (e.g., sCR1/BRL-55730,
and YM-203), coronary vasodilators (e.g., Nicorandil, RP46417, SG-75, and
Adancor), CPC-111, cytidyl diphosphocholine/citicholines, cytokines (e.g.,
NBI-117), Dexanabiol, dopamine agonists, EAA receptors, endothelin antagonists
(e.g., SB 209670), endothelin receptor antagonists, excitatory amino acid
agonists (e.g., acylated polyarnine analogs, and
N-(4-hydroxyphenylpropa-nonyl)-spermine analog), excitatory amino acid
antagonists (e.g., Tryptophan, 4,6-disubstituted stroke & kynurenine
derivatives, NPC-17742, CPC-701, and CPC-702), glutamate antagonists (e.g.,

Kainate quisqualate NNC-07-9202, NPC-17742, small molecule CNS-1237, NS-257,
NS-072, BW-619C, CGS 19755, Riluzole, PK-26124, and RP 54274), glutamate
receptor antagonists (e.g., Araxin compounds, Quinoxaline derivative, YM-90K,
and YM-900), glycine antagonists, glycine NMDA agonists (e.g.,
3-hydroxy-2,5-dioxo-1H-benz[b]azepines), glycine NMDA associated antagonists
(e.g., 5,6-dihydro-1H-pyrrolo [1,2,3-de]
quinoxaline-2,3-diones,
Strychnine-insensitive glycine binding site of NMDA receptor L-687414,
Glystasins, ACEA-2011, ACEA-3031, AC-1021, ACPC, and eliprodil), growth factor
antagonists (e.g., non-peptide indolocarbazole neutrophic molecules, and
CEP-075), GPIIb/IIIa antagonists (e.g., Peptide C68-22), hemorheological agents
(e.g., Drotaverine acephyllinate, and Depogen), heparin, hydroxyl radical
formation inhibitors (e.g., homopiperazine derivative K-7259), hypocalcemic
agents (e.g., calcitonin peptide, related to hCGRP peptide), hypothermic
agents/BMY-20862, ICAM-1 compounds (e.g., Enlimomab), immunosuppressants (e.g.,
small molecule compounds, and NBI-117), integrin general antagonists (e.g.,
monoclonal antibody AN-100225, and monoclonal antibody AN-100226),
Interleukin-1 antagonists (e.g., cyclic nitrones), iron-dependent lipid
peroxidation inhibitors (e.g., 2-(amino-methyl) chromans), lactic acid
accumulation/inhibitors (e.g., small molecule CPC-211), Leukotriene B4
antagonists (e.g., Ebselen, DR-3305, PZ-25, PZ-51, RP 60931, and RP 61605),
lipid peroxidase inhibitors (e.g., Idebenone, and Avan), low molecular weight
small molecules, methyltransferase stimulants (e.g., 4-methyl benzenesulfonate,
ademetionine sulfate tosilate, FO-156, and Ceritan), monoamine oxidase B
inhibitors (e.g., MD-280040, MD-200243, MD-280080, Lazabemide, and Ro-19-6327),

MS-153, MS-424, /Na.sup.+ /H.sup.+ Na.sup.+ /Li.sup.+ exchange inhibitors (e.g., Pyrazine derivatives), nadroparin (e.g., Fraxiparin), nafronyl/naftidrofuryl (e.g., Praxilene), nerve growth factor agonists (e.g., small molecule compounds, CNTF, BDNF, 2.5S NGF, monosialoganglioside GM1, and Sigen/Sygen), neuronal calcium channel blockers (e.g., CPC-304, and CPC-317), neuronal differentiation compounds (e.g., F-spondin), neuropeptide agonists (e.g., Neurotrophic Peptide Trofexin), neutrophil inhibitory factors (e.g., small molecule compounds), nitric oxide agonists (e.g., hydroxy derivative N-3393, hydroxy derivative N-3398, nicorandil, and Therapicon), nitric oxide antagonists, NMDA antagonists (e.g., Spiroisoindoles/dizocilpine derivatives, Oxindole compound, CP-112116, LY-104658, LY-235959, FR-115427, Sialic acid derivative, N-palmitoyl-Betaethylglycoside neuraminic acid, ND-37, Ro-01-6794, 706, Dextrorphan, Ifenprodil analogue eliprodil, SL-82.0715, Lipophilic molecules, HU-211, Remacemide, 934-423, 12495, 12859, 12942AA, Selfotel, CGS-19755, SDZ-EAA-494, CGP40116, CGP-37849, CGP-39551, and CGP-43487), NMDA antagonist-partial agonists (e.g., Conantokin G peptide SYM-1010), NMDA channel blockers (e.g., Aptiganel, CERESTAT, and CNS 1102), NMDA receptor antagonists, NMDA receptor subtypes (e.g., Kainate quisqua-late NNC-07-9202), non-competitive NMDA antagonists (e.g., FPL-15896), non-ionic copolymer RheothRx, nootropic/acetylcholine agonists (e.g., Oxiracetam, CT-848, and Neuractiv), norepinephrine inhibitors (e.g., Midalci-pran), N-type calcium channel antagonists (e.g., NS-626, and NS-638), opioid antagonists (e.g., Nalmefene, nalmetrene, JF-1, ORF-11676, Cervene, and Incystene), opioid kappa receptor agonists (e.g., acrylacetamide enadoline, and CI-997), organoselenims

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(e.g., Ebselen, DR-3305, PZ-25, PZ-51, RP 60931, and RP 61605), oxygen scavengers (e.g., Tirilazad mesylate, Lazaroids, and Freedox), PA2 inhibitors (e.g., phospholipase A2 inhibitor), PAF antagonists (e.g., nupafant, and BB-2113), partial glycine NMDA agonists (e.g., ACPC), peptide/ GPIIb/IIIa antagonists (e.g., Integrelin), peptidic neuron-specific calcium channel antagonists (e.g., SNX-111), phosphodiesterase inhibitors (e.g., Xanthine derivatives, propentofylline, Hoe-285, and Hextol), phospholipase A2 inhibitors (e.g., small organic molecule CEP-217), plasminogen activators (e.g., r-ProUK (recombinant pro-urokinase), platelet-activating factor antagonists (e.g., UK-74505), platelet adhesion inhibitors (e.g., Peptide), platelet aggregation antagonists (e.g., cilostazol, peptide agents, GPHb-IIIA inhibitor, and TP-9201), platelet aggregation inhibitors (e.g., Diaminoalkanioic acid derivatives), potassium channel agonists (e.g., Nicorandil, RP46417, SG-75, and Adancor), prolyl endopeptidase (PEP) inhibitors (e.g., JTP-4819), protein kinase C inhibitors (e.g., monosialoganglioside derivative Liga-20), proteolytic enzyme inhibitors (e.g., Protease nexin-1, Incyte, PN-1, PN-2, Nafamostat, FUT-175, Duthan, and Futhan), pyrimidine derivatives, Quinolizine derivatives (e.g., KF-17329, and KF-19863), radical formation antagonists (e.g., EPC-K1), recombinant tissue plasminogen activators (e.g., alteplase, and Activase), Schwann cell derived molecules/promoters, sigma antagonists (e.g., Sigma ligand), sigma receptor antagonists (e.g., tetrahyropyridinyl-isoxazolines and isoxazoles PD-144418), sodium/calcium channel modulators (e.g., Lifarizine, and RS-87476), sodium channel antagonists, streptokinase (e.g., Streptase), substituted guanadine (e.g., small molecule CNS-1237), superoxide dismutase stimulants

(e.g., PEG conjugated
enzyme superoxide dismutase/Dismutec, and PEG-SOD),
thrombin inhibitors, (e.g.,
non-peptide), thromboxane synthase inhibitors (e.g.,
Linotroban, and HN-11500),
thyrotropin-releasing hormone agonists (e.g., TRH agonists,
Protirelin
analogthymoliberin, and RX-77368,), ticlopidine (e.g.,
Ticlid), TJ-8007, TRH
agonists (e.g., Thyrotropin releasing hormones, and
JTP-2942), trilazard,
urokinase (e.g., Abbokinase), w-conopeptide (e.g.,
SNX-111), and warfarin
(e.g., Coumadin), and the like;